

## Catalog # 10-2122 Bosutinib

CAS 380843-75-4

4-[(2,4-Dichloro-5-methoxyphenyl)amino]-6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-3-quinolinecarbonitrile;SKI-606 Lot# X102081



Bosutinib is an ATP-competitive dual Src/Abl kinase inhibitor ( $IC_{50} = 1 \text{ nM}$ ).<sup>1</sup> It potently inhibits the apoptosis-linked STE20 kinases but does not inhibit Kit or PDGFR.<sup>2</sup> Bosutinib was found to inhibit 16 of 18 imatinib-resistant mutant forms of Bcr-Abl expressed in murine myeloid cell lines, but did not inhibit T315I and V299L mutants.<sup>3</sup> Enhances retinoic acid-induced differentiation of HL-60 leukemia cells.<sup>4</sup> Suppresses migration and invasion of human breast cancer cells ( $IC_{50} = 250 \text{ nM}$  which is also the  $IC_{50}$  for inhibition of cellular Src kinase activity in intact tumor cells).<sup>5</sup>

- 1) Golas et al. (2003), SKI-606, a 4-anilino-3-quinolinecarbonitrile dual inhibitor of Src and Abl kinases, is a potent antiproliferative agent against chronic myelogenous leukemia cells in culture and causes regression of K562 xenografts in nude mice; Cancer Res., **63** 375
- 2) Remsing Rix et al. (2009), Global target profile of the kinase inhibitor bosutinib in primary chronic myeloid leukemia cells; Leukemia, **23** 477
- *3)* Redaelli *et al.* (2009), *Activity of bosutinib, Dasatinib, and nilotinib against 18 imatinib-resistant BCR/ABL mutants*; J. Clin. Oncol., **27** 469
- 4) MacDonald et al. (2018), Src family kinase inhibitor bosutinib enhances retinoic acid-induced differentiation of HL-60 leukemia cells; Leuk. Lymphoma, **59** 2941
- 5) Vultur et al. (2008), SKI-606 (bosutinib), a novel Src kinase inhibitor, suppresses migration and invasion of human breast cancer cells; Mol. Cancer Ther., **7** 1185

## PHYSICAL DATA

Molecular Weight:	530.45
Molecular Formula:	C <sub>26</sub> H <sub>29</sub> Cl <sub>2</sub> N <sub>5</sub> O <sub>3</sub>
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (100 mg/ml) or Ethanol (up to 25 mg/ml with warming)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in
	DMSO or ethanol may be stored at -20°C for up to 1 month.

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